From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

Commissioner

US Department of Commerce
United States Patent and Trademark
Office, PCT

2011 South Clark Place Room

CP2/5C24

Arlington, VA 22202

Date of mailing (day/month/year) 14 February 2001 (14.02.01)	ETATS-UNIS D'AMERIQUE in its capacity as elected Office
International application No. PCT/EP00/05059	Applicant's or agent's file reference 4-30972A
International filing date (day/month/year)	Priority date (day/month/year)
02 June 2000 (02.06.00)	04 June 1999 (04.06.99)

Applicant

BRAIN, Christopher, Thomas et al

1.	The designated Office is hereby notified of its election made:
	X in the demand filed with the International Preliminary Examining Authority on:
	20 December 2000 (20.12.00)
	in a notice effecting later election filed with the International Bureau on:
2.	The election X was
	was not
	made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).
	·

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Authorized officer

Zakaria EL KHODARY

Telephone No.: (41-22) 338.83.38

Facsimile No.: (41-22) 740.14.35

PATENT COOPERATION TREAT.

<u></u>	From the INTERNATIONAL BUREAU		
PCT	To:		
NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year) 21 September 2001 (21.09.01)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE		
Applicant's or agent's file reference			
4-30972A	IMPORTANT NOTIFICATION		
International application No. PCT/EP00/05059	International filing date (day/month/year) 02 June 2000 (02.06.00)		
1. The following indications appeared on record concerning: X the applicant the inventor Name and Address NOVARTIS AG' Schwarzwaldallee 215 CH-4058 Basel Switzerland	the agent the common representative State of Nationality State of Residence CH CH Telephone No. Facsimile No. Teleprinter No.		
2. The International Bureau hereby notifies the applicant that t	he following change has been recorded concerning:		
the person the name X the add	dress the nationality the residence		
Name and Address NOVARTIS AG Lichtstrasse 35 CH-4056 Basel Switzerland	State of Nationality State of Residence CH CH Telephone No.		
and the state of	Facsimile No.		
	Teleprinter No.		
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office	the designated Offices concerned		
the International Searching Authority the International Preliminary Examining Authority	the elected Offices concerned other:		
LJ , January			
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Anman QIU		
Facsimile No : (41.22) 740 14 35	Telephone No : (41-22) 338 83 38		

Form PCT/IB/306 (March 1994)

004306450

	From th INTERNATIONAL BUREAU		
PCT	То:		
NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year) 05 December 2001 (05.12.01)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE		
Applicant's or agent's file reference			
4-30972A	IMPORTANT NOTIFICATION		
International application No.	International filing date (day/month/year)		
PCT/EP00/05059	02 June 2000 (02.06.00)		
The following indications appeared on record concerning: X the applicant X the inventor Name and Address	the agent the common representative State of Nationality State of Residence		
BRAIN, Christopher, Thomas	GB GB		
54 Cardinal's Gate Werrington	Telephone No.		
Peterborough Cambridgeshire PEF 5A United Kingdom	Facsimile No.		
United Kingdom	racsimile No.		
	Teleprinter No.		
2. The International Bureau hereby notifies the applicant that the	ne following change has been recorded concerning:		
the person the name X the add	ress the nationality the residence		
Name and Address	State of Nationality State of Residence		
BRAIN, Christopher, Thomas The Novartis Institute for Medical	GB GB Telephone No.		
Sciences 5 Gower Place	relephone ivo.		
London WC1E 6BN United Kingdom	Facsimile No.		
	Teleprinter No.		
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office	the designated Offices concerned		
the International Searching Authority	X the elected Offices concerned		
X the International Preliminary Examining Authority	other:		
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Anman QIU		
Facsimile No.: (41-22) 740.14.35	Telephone No : (41,22) 338 83 38		

PCT NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year) 06 December 2001 (06.12.01)	SEP BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE	0 9 2	
Applicant's or agent's file reference 4-30972A	IMPORTANT NOTIFICATION		
International application No. PCT/EP00/05059	International filing date (day/month/year) 02 June 2000 (02.06.00)		
The following indications appeared on record concerning: X the applicant X the inventor	the agent the common representative		
Name and Address CULSHAW, Andrew, James 10 Bracewell Avenue Greenford Middlesex UB6 7QU United Kingdom	State of Nationality GB Telephone No. Facsimile No.	ce	
The International Bureau hereby notifies the applicant that the person			
Name and Address CULSHAW, Andrew, James The Novartis Institute for Medical Sciences 5 Gower Place London WC1E 6BN United Kingdom	State of Nationality State of Residen GB GB Telephone No.	ce	
	Teleprinter No.		
3. Further observations, if necessary:	<u> </u>		
4. A copy of this notification has been sent to: X the receiving Office	the designated Offices concerned		
the International Searching Authority X the International Preliminary Examining Authority	X the elected Offices concerned other:		
The International Bureau of WIPO	Authorized officer		

	From the I	NTERNATIONAL BI	UREAU	
PCT	То:			
NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE			
07 December 2001 (07.12.01)				
Applicant's or agent's file reference 4-30972A		IMPORTANT NOTIFICATION		
International application No. PCT/EP00/05059		filing date (day/month/ye e 2000 (02.06.00)	ear)	
The following indications appeared on record concerning: X the applicant X the inventor	the agent		on representative	
Name and Address DZIADULEWICZ, Edward, Karol 83 Wellsway Bear Flat Bath Somerset BA2 4RU United Kingdom	Tel	ate of Nationality GB lephone No. csimile No.	State of Residence GB	
		leprinter No.		
2. The International Bureau hereby notifies the applicant that the the person		nge has been recorded c the nationality	concerning: the residence	
Name and Address DZIADULEWICZ, Edward, Karol The Novartis Institute for Medical Sciences 5 Gower Place London WC1E 6BN United Kingdom	Tel	ete of Nationality GB Ilephone No. csimile No. Ileprinter No.	State of Residence GB	
3. Further observations, if necessary:	•			
4. A copy of this notification has been sent to: X the receiving Office the International Searching Authority X the International Preliminary Examining Authority	×	the designated Offices of the elected Offices conc other:		
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized office	Anman QIU		
Facsimile No.: (41-22) 740.14.35	Telephone No.:	(41-22) 338.83.38		

РСТ		From the INTERNATIONAL BUREAU To:			
NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year) 08 December 2001 (08.12.01)		BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE			
Applicant's or agent's file reference 4-30972A		IMPORTANT NO	TIFICATION		
International application No. PCT/EP00/05059		nal filing date (day/month une 2000 (02.06.00)	/year)		
The following indications appeared on record concerning: X the applicant X the inventor	the agen	t the comm	non representative		
Name and Address HART, Terance, William 12 Perry Court Clerk Maxwell Road Cambridge CB3 0RS United Kingdom		State of Nationality GB Telephone No.	State of Residence GB		
:		Facsimile No. Teleprinter No.			
2. The International Bureau hereby notifies the applicant that to the person the name X the ad	<u></u>	the nationality	d concerning: the residence		
Name and Address HART, Terance, William The Novartis Institute for Medical Sciences 5 Gower Place London WC1E 6BN United Kingdom		GB Telephone No. Facsimile No. Teleprinter No.	State of Residence GB		
3. Further observations, if necessary:					
4. A copy of this notification has been sent to: X the receiving Office the International Searching Authority X the International Preliminary Examining Authority		the designated Office the elected Offices co other:			
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized of	Anman QIU			

PCT		From the INTERNATIONAL BUREAU			
			<u></u> _		
NOTIFICATION OF THE RECORDING OF A CHANGE (PCT Rule 92bis.1 and Administrative Instructions, Section 422) Date of mailing (day/month/year) 09 December 2001 (09.12.01)		BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Dept. CH-4002 Basel SUISSE			
Applicant's or agent's file reference					
4-30972A		IMPORTANT NOT	TIFICATION		
International application No. PCT/EP00/05059	ł	onal filing date (day/month/) June 2000 (02.06.00)	year)		
The following indications appeared on record concerning: X the applicant X the inventor	the age	nt the comm	non representative		
Name and Address		State of Nationality	State of Residence		
RITCHIE, Timothy, John 56 Burrard Road		. GB	GB		
London NW6 1DD United Kingdom		Telephone No.			
2		Facsimile No.			
		T-10-2-10-10-10-10-10-10-10-10-10-10-10-10-10-			
		Teleprinter No.			
2. The International Bureau hereby notifies the applicant that t	he following	change has been recorded	concerning:		
the person the name X the add	dress	the nationality	the residence		
Name and Address		State of Nationality	State of Residence		
RITCHIE, Timothy, John The Novartis Institute for Medical		GB	GB		
Sciences 5 Gower Place		Telephone No.	v		
London WC1E 6BN United Kingdom		Facsimile No.			
Omited Kingdom					
		Teleprinter No.			
3. Further observations, if necessary:					
a value observations, in necessary.					
4. A copy of this notification has been sent to:					
X the receiving Office	ſ	the designated Offices concerned			
the International Searching Authority		X the elected Offices concerned			
X the International Preliminary Examining Authority		other:			
T. 1	Authorized	officer			
The International Bureau of WIPO 34, chemin des Colombettes		Anman QIU			
1211 Geneva 20, Switzerland		elephone No.: (41-22) 338.83.38			
Facsimile No.: (41-22) 740.14.35	reschirous	140 (41.22) 330.03.30			

ITERNATIONAL SEARCH REPORT

. .rnational Application No PCT/EP 00/05059

IPC 7	FICATI NOF SUBJECT MATTER C07C311/44 C07D211/62 C0 A61K31/63 A61P29/00			C070295/18	
According to	o International Patent Classification (IPC) or to both nation	al classification an	a IPC		
	SEARCHED				
Minimum oc IPC 7	comentation searched (classification system followed by CO7D CO7C A61K A61P	classification system	iola)	_	
	tion searched other than minimum documentation to the ex				
Electronic d	ata base consulted during the international search (name	of data base and,	where practical, sourch t	erms usud)	
EPO-In	ternal, CHEM ABS Data, WPI Data	a			
C. DOCUM	ENTS CONSIDERED TO BE RELEVANT				
Caregory *	Citation of document, with Indication, where appropriate	e, of the relevant p	ussnocs	Relevant to claim No.	
A	WO 97 25315 A (SANOFI SA ;FI (FR); GOUGAT JEAN (FR); MUNI 17 July 1997 (1997-07-17) abstract			1-11	
			-		
	·				
j l					
	ner documents are listed in the continuation of box C.	X	Patent family members	are fister in annex.	
Special ca	stegories of cited documents :	T late	r document published after	er the Inturnational filing date	
conside	ent defining the general state of the art which is not ered to be of particular relevance	or cita lnv	priority dale and not in co ad to understand the print rention	inflict with the application but clple or theory underlying the	
Hitne at		ca	nnot be considered nove	nce; the ::laimed Invention or cannat be considered to	
which i	"L" document which may throw doubts on priority claim(s) or Involve an inventive step when the d. current is taken alone which is cited to establish the publication date of another "Y" document of particular reterrance; the plained leventon				
-О. qосише	n or other special reason (as specified) ant referring to am oral disclosure, use, exhibition or	C21	nnot be considered to invi current is combined with	olve an inventive step when the one or more other such docu-	
	ncurs In published prior to the international filing date but ian the priority date dalmed	in 1	ems, such complination be the sit. which incompar of the sain	ne pateni family	
	scruel completion of the international search		to of mailing of the Interns		
8	March 2001		0 3. 08. 2001		
Name and m	nulling address of the ISA	Aut	thorized officer		
European Patem Office, P.B. 5616 Patendaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040. Tx. 31 651 epo ni, Fax: (+31-70) 340-3018 De Jong, B					

INTERNATIONAL SEARCH REPORT

nternational application No. PC1/EP 00/05059

Box I Observations where certain claims were found unsearchable (Continuation 1 item 1 of first she	et)
This international Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following	reasons;
1. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:	
Although claim 10 is directed to a method of treatment of the human/a body, the search has been carried out and based on the alleged effect compounds.	
2. Claims Nos.: because they relate to parts of the international Application that do not comply with the prescribed requirements to su an extent that no meaningful international Search can be carried out, specifically:	ich
Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6	.4(a).
Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)	
This international Searching Authority found multiple inventions in this international application, as follows:	
As all required additional search fees were timely paid by the applicant, this international Search Report covers all searchable claims.	
As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite paym of any additional fee.	ənt
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically calms Nos.;	
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.;	i
Remark on Protest The additional search foes were accompanied by the applicant's participated in the payment of additional search fees.	rotest.





information on patent family members

ornational Application No PCT/EP (10/05059

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 9725315	A	17-07-1997	FR AU	2743562 1383297		18-07-1997
			BR	9707120	A	01-08-1997 20-07-1999
			CA EP	2241788 0877737	• •	17 - 07-1997 18-11-1998
			JP	2000504315	T	11-04-2000
			NO	983190	Α	10-07-1998
			US	6015812	Α	18-01-2000
			US	6100278	A	08-08-2000

Form PCT/ISA/210 (parent family annex) (July 1992)



INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	FOR FURTHER see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.				
International application No.	International filing date (day/month/year)	(Earliest) Priority Date (day/month/year)			
PCT/EP 00/05059	02/06/2000 04/06/1999				
Applicant					
NOVARTIS AG					
This International Search Report has bee according to Article 18. A copy is being tr	en prepared by this International Searching A cansmitted to the International Bureau.	uthority and is transmitted to the applicant			
This International Search Report consists X It is also accompanied by	s of a total of3 sheets. y a copy of each prior art document cited in the	nis report.			
Basis of the report					
	international search was carried out on the last otherwise indicated under this item.	pasis of the international application in the			
the international search v Authority (Rule 23.1(b)).	was carried out on the basis of a translation o	of the international application furnished to this			
b. With regard to any nucleotide a l was carried out on the basis of the	ne sequence listing:	international application, the international search			
	onal application in written form. ernational application in computer readable f	orm			
		om.			
	furnished subsequently to this Authority in written form.				
the statement that the su	furnished subsequently to this Authority in computer readble form. the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the				
international application as filed has been furnished. the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished					
2. Certain claims were fou	und unsearchable (See Box I).				
3. Unity of invention is lacking (see Box II).					
4. With regard to the title,					
X the text is approved as s	ubmitted by the applicant.				
the text has been established by this Authority to read as follows:					
5. With regard to the abstract,					
the text is approved as s	ubmitted by the applicant.				
the text has been establi		ority as it appears in Box III. The applicant may, report, submit comments to this Authority.			
6. The figure of the drawings to be pub	olished with the abstract is Figure No.	<u>=</u>			
as suggested by the app	licant.	None of the figures.			
because the applicant fa	iled to suggest a figure.				
because this figure bette	r characterizes the invention.				

INTERNATIONAL SEARCH REPORT

ernational application No.
PCT/EP 00/05059

Box III	TEXT OF THE ABSTRACT	(Continuati n of item 5	f th first sheet)	
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]				
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	****	en en en e n en		
				•
	•			



Ir tional Application No PCT/EP 00/05059

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07C311/44 C07E CO7D211/62 C07D211/34 C07D401/12 C07D295/18 A61K31/63 A61P29/00 According to International Patent Classification (IPC) or to both national classification and IPC **B. FIELDS SEARCHED** Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7D CO7C A61K Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, CHEM ABS Data, WPI Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Category ° Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Α WO 97 25315 A (SANOFI SA ; FERRARI BERNARD 1-11 (FR); GOUGAT JEAN (FR); MUNEAUX CLAUDE) 17 July 1997 (1997-07-17) abstract Further documents are listed in the continuation of box C. Patent family members are listed in annex. ° Special categories of cited documents: *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the "A" document defining the general state of the art which is not considered to be of particular relevance invention earlier document but published on or after the international "X" document of particular relevance; the claimed invention filing date cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-ments, such combination being obvious to a person skilled O' document referring to an oral disclosure, use, exhibition or other means document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 8 March 2001 20/03/2001 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016 De Jong, B

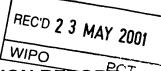
INTERMATIONAL SEARCH REPORT

information on patent family members

Ir Line tional Application No PCT/EP 00/05059

olication Patent family member(s)	Publication date
07-1997 FR 2743562	A 18-07-1997
AU 1383297	A 01-08-1997
BR 9707120	A 20-07-1999
CA 2241788	A 17-07-1997
EP 0877737	A 18-11-1998
JP 2000504315	T 11-04-2000
NO 983190	A 10-07-1998
US 6015812	A 18-01-2000
	A 08-08-2000
	D7-1997 FR 2743562 AU 1383297 BR 9707120 CA 2241788 EP 0877737 JP 2000504315 NO 983190 US 6015812





INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

14

A 1 41						
4-30972	_	nt's file reference	FOR FURTHER ACT	ION		ation of Transmittal of International r Examination Report (Form PCT/IPEA/416)
Internation	al appli	cation No.	International filing date (da	y/month	v/year)	Priority date (day/month/year)
PCT/EP	00/05	059	02/06/2000			04/06/1999
C07C31		nt Classification (IPC) or na	ational classification and IPC			
Applicant NOVAR	TIS A	G et al.				
		ational preliminary exam mitted to the applicant		epared	by this Inte	rnational Preliminary Examining Authority
2. This	REPO	RT consists of a total of	5 sheets, including this c	over sl	heet.	
t	oeen a	mended and are the ba	ed by ANNEXES, i.e. shee sis for this report and/or sh 07 of the Administrative In	neets c	ontaining re	n, claims and/or drawings which have ctifications made before this Authority e PCT).
Thes	e anne	exes consist of a total of	f sheets.			
3. This	report	contains indications rela	ating to the following items	:		
1	\boxtimes	Basis of the report				
H		Priority				
111	\boxtimes	Non-establishment of o	ppinion with regard to nove	lty, inv	entive step a	and industrial applicability
IV		Lack of unity of invention	on			
٧	×	Reasoned statement u citations and explanation	nder Article 35(2) with reg	ard to i	novelty, inve	ntive step or industrial applicability;
VI		Certain documents cit	ed			•
VII		Certain defects in the in	nternational application			
VIII		Certain observations o	n the international applicat	tion		
Date of sub	omissio	n of the demand	[Date of o	completion of t	this report
20/12/20	00		2	2.05.20	001	·
	examir	address of the internationa ning authority:		uthoriz	ed officer	Sept SECTION TO THE PROPERTY OF THE PROPERTY O
<u></u>	NL-2	pean Patent Office - P.B. 5 280 HV Rijswijk - Pays Bas 31 70 340 - 2040 Tx: 31 6	s [De Jon	ıg, B	(in the state of t
		+31 70 340 - 3016	·			240,0000 3000 3000 1

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/05059

I.	Bas	is	of	the	rei	DO	rt
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1.	the and	With regard to the elements of the international application (Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)): Description , pages:								
	1-2	25	as originally filed							
	Cla	aims, No.:								
	1-1	1 .	as originally filed							
2.	Wit lan	th regard to the lang guage in which the	guage, all the elements marked above were available or furnished to this Authority in the international application was filed, unless otherwise indicated under this item.							
	The	ese elements were a	available or furnished to this Authority in the following language: , which is:							
		the language of pu	translation furnished for the purposes of the international search (under Rule 23.1(b)). ublication of the international application (under Rule 48.3(b)). translation furnished for the purposes of international preliminary examination (under Rule							
3.	Wit inte	h regard to any nuc	cleotide and/or amino acid sequence disclosed in the international application, the y examination was carried out on the basis of the sequence listing:							
		filed together with furnished subseque furnished subseque The statement that the international a	ternational application in written form. the international application in computer readable form. tently to this Authority in written form. tently to this Authority in computer readable form. It the subsequently furnished written sequence listing does not go beyond the disclosure in the subsequently furnished written sequence listing does not go beyond the disclosure in the information recorded in computer readable form is identical to the written sequence rnished.							
4.	The	e amendments have	resulted in the cancellation of:							
		the description,	pages:							
		the claims,	Nos.:							
		the drawings,	sheets:							
5.			en established as if (some of) the amendments had not been made, since they have been beyond the disclosure as filed (Rule 70.2(c)):							

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this

			•		
6.	Add	litional observations, if ne	ecessar	y:	
111.	Nor	n-establishment of opin	ion wit	h regard	I to novelty, inventive step and industrial applicability
1.					n appears to be novel, to involve an inventive step (to be non- e not been examined in respect of:
		the entire international a	applicati	on.	Y
	Ø	claims Nos. 10.			
be	caus	se:			
	×				said claims Nos. 10 (with respect to industrial applicability) relate to not require an international preliminary examination (<i>specify</i>):
		the description, claims of that no meaningful opinion		-	icate particular elements below) or said claims Nos. are so unclear ned (specify):
		the claims, or said claim could be formed.	ıs Nos.	are so in	nadequately supported by the description that no meaningful opinion
		no international search	report h	as been e	established for the said claims Nos
2.	and			-	ination cannot be carried out due to the failure of the nucleotide y with the standard provided for in Annex C of the Administrative
		the written form has not	been fu	ırnished d	or does not comply with the standard.
		the computer readable f	orm has	s not beer	en furnished or does not comply with the standard.
V.		soned statement under tions and explanations			vith regard to novelty, inventive step or industrial applicability; ch statement
1.	Stat	ement			
	Nov	relty (N)	Yes: No:	Claims Claims	
	Inve	entive step (IS)	Yes: No:	Claims Claims	1-11
	Indu	ustrial applicability (IA)	Yes:	Claims	1-9,11

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/05059

No: Claims

2. Citations and explanations see separate sheet

EXAMINATION REPORT - SEPARATE SHEET

Re item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 10 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

Re Item V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

In view of the structural differences with the compounds of the closest prior art WO9725315, the compounds of the present application are both novel and inventive.

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 14 December 2000 (14.12.2000)

PCT

(10) International Publication Number WO 00/75107 A2

(51) International Patent Classification?: C07C 311/44, C07D 211/62, 211/34, 401/12, 295/18, A61K 31/63, A61P 29/00

(21) International Application Number: PCT/EP00/05059

(22) International Filing Date: 2 June 2000 (02.06.2000)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 9913079.1

4 June 1999 (04.06.1999) GF

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(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

 Without international search report and to be republished upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



1.05.2001	
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rike Heiroth

EP00/05059

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T: NOVARTIS AG Corporate Intellectual Proper	P + TM I	POTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT OR THE DECLARATION
Attn. BECKER, Konrad Patent & Trademark Department CH-4002 Basel		(PCT Rule 44.1)
SWITZERLAND	APPL M/D	F/L PS/TS
	Kopien:	
		Date of mailing (day/month/year) 20/03/2001
Applicant's or agent's file reference 4-30972A		FOR FURTHER ACTION See paragraphs 1 and 4 below
International application No. PCT/EP 00/ 05059		International filing date (day/month/year) 02/06/2000
Applicant		
NOVARTIS AG		
The conflict to bereit a being a stiffed that the	stemational Sear	h Report has been established and is transmitted herewith.

1.	X	• •		otified that the International Search Report has been established and is transmitted herewith.
		Filing of The appi	amendments a lcant is entitled,	nd statement under Article 19: If he so wishes, to amend the claims of the International Application (see Rule 46):
		When?	The time limit to International Se	or filing such amendments is normally 2 months from the date of transmittal of the carch Report, however, for more details, see the notes on the accompanying sheet.
		Where?	Directly to the	International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Fascimile No.: (41–22) 740.14.35
		For mor	e detailed instr	actions, see the notes on the accompanying sheet.
2.		The appl Article 17	icant is hereby n 7(2)(2) to that eff	otified that no International Search Report will be established and that the declaration under ect is transmitted herewith.
3.		With reg	ard to the prote	est against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that
٠٠,	_	the ap	protest together plicant's request	with the decision thereon has been transmitted to the International Bureau together with the to-forward-the texts of both the protest and the decision thereon to the designated Offices.
		no	decision has be	en made yet on the protest; the applicant will be notified as soon as a decision is made.
4.	Furt	her actio	n(s): The app	icant is reminded of the following:
	t ti pri co	he application of the majority claim in the	ant wishes to avo n, must reach the of the technical p	the priority date, the international application will be published by the International Bureau, and or postpone publication, a notice of withdrawal of the International application, or of the International Bureau as provided in Rules 90 <i>bis</i> .1 and 90 <i>bis</i> .3, respectively, before the reparations for international publication.
· · ·	With	in 19 moi shas to pt	nths from the pri astpone the entry	ority date, a demand for international preliminary, examination must be filed if the applicant into the national phase until 30 months from the priority date (In some Offices even Tater).

Within 20 months from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected in the demand or in a later election within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

Name	and maili	ng addr	ess of the	Interna	utional S	searching.	Authority
	Eur	mnaan E	Petent Of	fice PP	581A	Patentiaal	າ 2

T I. (+31-70) 340-2040, Tx. 31 651 epo ni. Fax: (+31-70) 340-3016

Authorized officer

Robert De Jager

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NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international proliminary examination procedure, there is usually no need to fite amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

When?

Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later, it should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been its filed, see below.

How?

Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

What documents must/may accompany the amendments?

Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims, it should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

NOTES TO FORM PCT/ISA/220 (continued)

The latter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

- () the claim is unchanged;
- (ii) the claim is cancelled;
- (ii) the claim is new;
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

The following exemples illustrate the manner in which amendments must be explained in the accompanying letter:

- [Where originally there were 48 claims and after amendment of some claims there are 51]:
 "Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers;
 claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
- [Where originally there were 15 claims and after amendment of all claims there are 11]:
 "Claims 1 to 15 replaced by amended claims 1 to 11."
- 3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]: "Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or "Claims 7 to 13 cancelled; new claims 15, 18 and 17 added; all other claims unchanged."
- (Where various kinds of amendments are made):
 "Claims 1-10 unchanged; claims 11 to 13, 16 and 19 cancelled; claims 14, 15 and 16 replaced by amended claims 15; claims 12 and 21 added."

"Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

it must be in the language in which the international appplication is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1);"

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been aubmitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Pule 62.2(a), first sentence).

Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 18 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

The second Sio reference	FOR FURTHER See Notification of	f Transmittal of International Search Report					
Applicant's or agent's file reference	ACTION (Form PCT/ISA/2	20) as well as, where applicable, item 5 below.					
4-30972A	International filing date (day/month/year)	(Earliest) Priority Date (day/month/year)					
International application No.	international filling date (day/fillullyear)						
PCT/EP 00/05059	02/06/2000	04/06/1999					
Applicant							
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NOVARTIS AG							
according to Article 18. A copy is being	_						
1. Basis of the report							
a. With regard to the language, the	e international search was carried out on the be inless otherwise indicated under this item.	sis of the international application in the					
the International search	was carried out on the basis of a translation of	the international application furnished to this					
Authority (Rule 23.1(b))	and/or amino scid sequence disclosed in the i	nternational application, the international search					
was carried out on the basis of	Ne adnesice usurid .						
contained in the interna	tional application in written form.	m.					
	nternational application in computer readable for	•					
furnished subsequently	to this Authority in written form.						
furnished subsequently	to this Authority in computer readble form.	dens not so beyond the disclosure in the					
international application	subsequently furnished written sequence listing a as filed has been furnished.						
the statement that the i	nformation recorded in computer readable form	is identical to the written sequence listing has been					
	and unaconschable (See Box I)						
	ound unsearchable (See Box I).						
3. Unity of invention is	scang (see box ")-						
		•					
4. With regard to the title,	submitted by the applicant.						
the text is approved as	blished by this Authority to read as follows:						
the text has been esta	the text has been established by this Authority to read as rollows:						
5. With regard to the abstract,	submitted by the applicant.						
	blished, according to Rule 38.2(b), by this Authorities of mailing of this international search (ority as it appears in Box III. The applicant may, report, submit comments to this Authority.					
	published with the abstract is Figure No.						
as suggested by the a	•	None of the figures.					
	failed to suggest a figure.	·					
	itter characterizes the invention.	•					
1 -							

INTERNATIONAL SEARCH REPORT

International application No.

PCT/EP 00/05059

B x III TEXT OF THE ABSTRACT (Continuation of Item 5 of the first sheet)

Form PCT/ISA/210 (continuation of first sheet (2)) (July 1998)

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EMATIONAL SEARCH REPORT	Increational Application
	PCT/EP 00/0505

A. CLASSIFICATION OF SUBJECT MATTER
1PC 7 C07C311/44 C07D211/62 CO7D295/18 CO7D401/12 CO7D211/34 A61P29/00 A61K31/63 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D C07C A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

. DOCUME	ENTS CONSIDERED TO BE RELEVANT	Relevant to daim No.
snedorA .	Citation of document, with indication, where appropriate, of the relevant passages	
A	WO 97 25315 A (SANOFI SA ;FERRARI BERNARD (FR); GOUGAT JEAN (FR); MUNEAUX CLAUDE) 17 July 1997 (1997-07-17) abstract	1-11
٠.		

Further documents are listed in the continuation of box C.	Palent family members are listed in annex.
Special categories of cited documents: "A" document defining the general state of the an which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubte on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "The document-published prior to the international filing-date-base. "But then the priority date claimed."	"I" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventiva step when the document is taken alone document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combined with one or more other such documents, such combination being obvious to a person skilled in the art. "8" document member of the same patent family Date of matting of the invernational search report.
Date of the actual completion of the international search	Date of mailing of the international season report
8 March 2001	20/03/2001
Name and mailing address of the ISA European Patent Office, P.B. 5816 Patentiaan 2 NL - 2280 HV Rigwijk Tcl. (+31-70) 340-2040, Tx 31 651 epo nl, Fax (+31-70) 340-3016	De Jong, B

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INTERNATIONAL SEARCH REPORT

International application No. PCT/EP 00/05059

	net)					
B x I Observati na where certain claims were found unsearchabl (Continuation of item 1 of first sho						
This int mational Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:						
1. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely: Although claim 10 is directed to a method of treatment of the human, body, the search has been carried out and based on the alleged effection compounds.	animal cts of the					
Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to an extent that no meaningful international Search can be carried out, specifically:	such					
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Flui	e 6.4(a).					
Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)						
This International Searching Authority found multiple inventions in this International application, as follows:						
,						
As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable daims.						
As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite portion of any additional fee.	payment					
As only some of the required additional search fees were timely paid by the applicant, this International Search F covers only those claims for which fees were paid, specifically claims Nos.:	Report					
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:						
Remark on Protest The additional search fees were accompanied by the applications of the search fees were accompanied by the accompanied by	ant's protest.					
No protest accompanied the payment of additional search f	ees.					

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INTERNATIONAL SEARCH REPORT

Information on patent family members

PCT/EP 00/05059

Patent docum int dited in search report	Publication date	Patent family member(6)	Publicati n date
WO 9725315	A 17-07-1997	FR 2743562 A AU 1383297 A BR 9707120 A CA 2241788 A EP 0877737 A JP 2000504315 T NO 983190 A US 6015812 A US 6100278 A	18-07-1997 01-08-1997 20-07-1999 17-07-1997 18-11-1998 11-04-2000 10-07-1998 18-01-2000 08-08-2000

Form PCT/ISA/210 (potent family arries) (July 1992)